

09/313,534

=> file caplus

FILE 'CAPLUS' ENTERED AT 10:11:16 ON 25 SEP 2002

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FILE COVERS 1907 - 25 Sep 2002 VOL 137 ISS 13

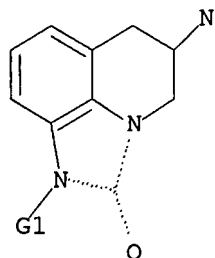
FILE LAST UPDATED: 24 Sep 2002 (20020924/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d que

L1 STR



G1 O, S, CN

Structure attributes must be viewed using STN Express query preparation.

L3 18 SEA FILE=REGISTRY SSS FUL L1

L4 5 SEA FILE=CAPLUS L3

=> d 14 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS ✓

ACCESSION NUMBER: 1999:233800 CAPLUS

DOCUMENT NUMBER: 130:272022

TITLE: Sustained-release tablet formulation to treat Parkinson disease

INVENTOR(S): Ju, Tzu-chi Robert

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

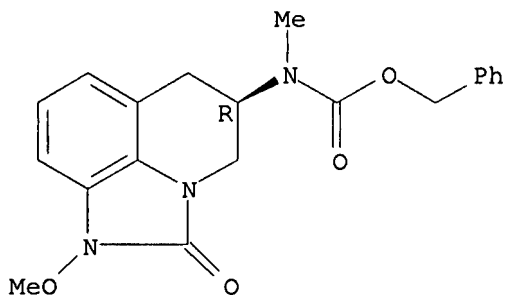
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

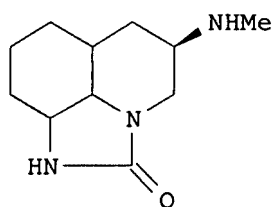
| PATENT NO.  | KIND   | DATE     | APPLICATION NO. | DATE        |
|---|--|----------|-----------------|-------------|
| WO 9916442  | A2   | 19990408 | WO 1998-US17992 | 19980903    |
| WO 9916442  | A3   | 19990617 |                 |             |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |  |          |                 |             |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |  |          |                 |             |
| BR 9812687  | A  | 20000822 | BR 1998-12687   | 19980303    |
| CA 2301869  | AA   | 19990408 | CA 1998-2301869 | 19980903    |
| AU 9892964  | A1   | 19990423 | AU 1998-92964   | 19980903    |
| AU 742941   | B2   | 20020117 |                 |             |
| EP 1017391  | A2   | 20000712 | EP 1998-945805  | 19980903    |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |  |          |                 |             |
| JP 2001517701   | T2   | 20011009 | JP 2000-513578  | 19980903    |
| US 6197339  | B1   | 20010306 | US 1998-146090  | 19980930    |
| FI 2000000720   | A  | 20000329 | FI 2000-720     | 20000329    |
| NO 2000001624   | A  | 20000329 | NO 2000-1624    | 20000329    |
| US 2001053386   | A1   | 20011220 | US 2001-759286  | 20010111    |
| PRIORITY APPLN. INFO.:  |  |          |                 |             |
|   |  |          | US 1997-60827P  | P 19970930  |
|   |  |          | WO 1998-US17992 | W 19980903  |
|   |  |          | US 1998-146090  | A1 19980930 |
| AB  | A sustained-release tablet which permits twice daily administration for the treatment of Parkinson's disease, comprises (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) 0.3-16 %, starch 60-69 %, and hydroxypropyl Me cellulose 30-40 %. |          |                 |             |
| IT  | <b>222415-94-3P</b><br>RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)<br>(prepn. of methylaminoimidazoquinolinone to treat Parkinson's disease)   |          |                 |             |
| RN  | 222415-94-3 CAPLUS   |          |                 |             |
| CN  | Carbamic acid, methyl[(5R)-1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)  |          |                 |             |

Absolute stereochemistry. Rotation (+).



09/313,534

TITLE: Synthesis of the Selective D2 Receptor Agonist  
PNU-95666E from D-Phenylalanine Using a Sequential  
Oxidative Cyclization Strategy  
AUTHOR(S): Romero, Arthur G.; Darlington, William H.; McMillan,  
Moses W.  
CORPORATE SOURCE: Medicinal Chemistry Research and Chemical Research  
Preparations, Pharmacia Upjohn Inc., Kalamazoo, MI,  
49001, USA  
SOURCE: Journal of Organic Chemistry (1997), 62(19), 6582-6587  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB A synthesis of PNU-95666E (I) was developed, starting from D-phenylalanine. Crit. to the success of this synthesis were two oxidative nitrogen annulations to provide the tricyclic ring system. A highly efficient redn. with borane-dimethyl sulfide was used to reduce three different functional groups, a total of six hydrides transferred, with no concomitant racemization, contributing to the synthesis of I in eight steps with an overall yield of 26%. The utility of this synthetic route has been demonstrated by the completion this synthesis on the multikilogram scale.

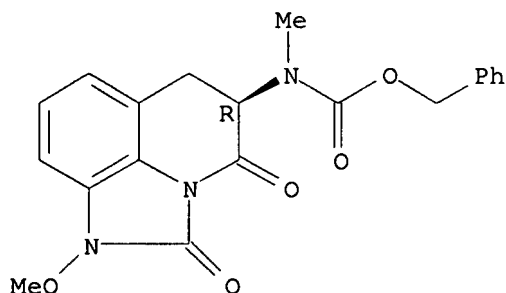
IT 194222-96-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of PNU-95666E)

RN 194222-96-3 CAPLUS

CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

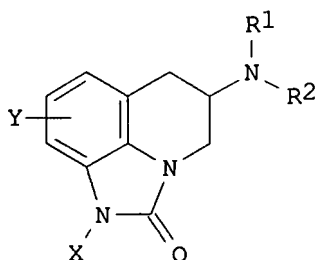
Absolute stereochemistry. Rotation (+).



09/313,534

DOCUMENT NUMBER: 127:190739  
TITLE: Preparation of 5,6-dihydro-4H-imidazo[4,5,1-  
ij]quinolin-2(1H)-ones having central nervous system activity ✓  
INVENTOR(S): Romero, Arthur G.  
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA  
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 97,608,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.   | KIND | DATE              | APPLICATION NO. | DATE        |
|--|------|-------------------|-----------------|-------------|
| US 5652245   | A    | 19970729          | US 1996-592328  | 19960123    |
| WO 9504056   | A1   | 19950209          | WO 1994-US6648  | 19940617    |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB,<br>GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL,<br>NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN<br>RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,<br>BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |      |                   |                 |             |
| US 2001009916  | A1   | 20010726          | US 1999-313534  | 19990513    |
| PRIORITY APPLN. INFO.:   |      |                   | US 1993-97608   | B2 19930727 |
|  |      |                   | WO 1994-US6648  | W 19940617  |
|  |      |                   | US 1996-592328  | A1 19960123 |
| OTHER SOURCE(S):   |      | MARPAT 127:190739 |                 |             |
| GI   |      |                   |                 |             |



AB The title compds. [I; R1, R2 = H, C1-6 alkyl; R1R2 = pyrrolidine, piperidine, morpholine, imidazole; X = MeO, R3SO2, CF3SO2, CN; R3 = C1-6 alkyl, (un)substituted C5-10 arom. ring; Y = H, Cl, Br, F, CN, etc.] and their salts, having anxiolytic, anti-depressant and central nervous system activity, and useful for treating schizophrenia, Parkinson's disease, anxiety, depression, migraine or for lowering blood pressure, were prepd. For example, 7-step synthesis of compd. I [R1 = H; R2 = nPr; X = MeO; Y = H] starting from (R)-1,2,3,4-tetrahydro-1-methoxy-2-oxo-3-quinolinamine is described. Treatment of above mentioned compd. I [R1 = H; R2 = nPr; X = MeO; Y = H] with 1-iodopropane in the presence of K2CO3 in MeCN afforded 90% I [R1 = R2 = nPr; X = MeO; Y = H] which showed Ki of 38 nM against 5-HT1DB receptor binding.

IT **166742-81-0P 166742-92-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having

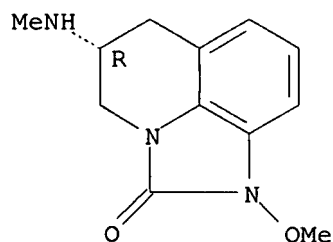
09/313,534

central nervous system activity)

RN 166742-81-0 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

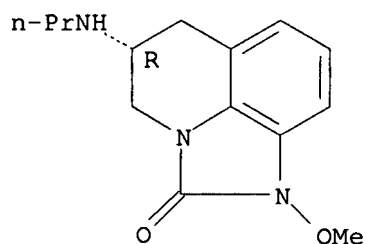
Absolute stereochemistry. Rotation (-).



RN 166742-92-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 166742-82-1P 166742-83-2P 166742-84-3P

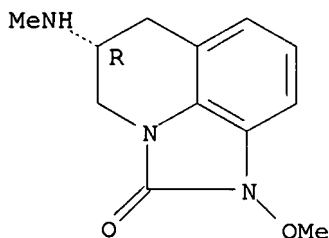
166742-93-4P 166742-94-5P 166742-95-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-82-1 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



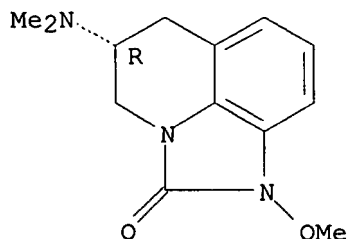
● HCl

RN 166742-83-2 CAPLUS

09/313,534

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

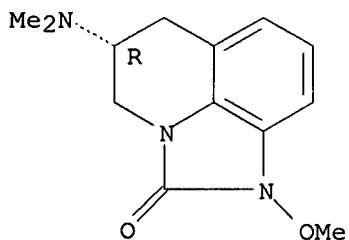
Absolute stereochemistry. Rotation (-).



RN 166742-84-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

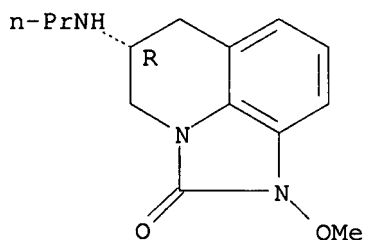


● HCl

RN 166742-93-4 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



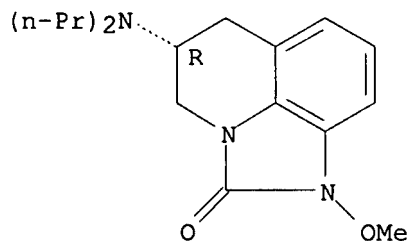
● HCl

RN 166742-94-5 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

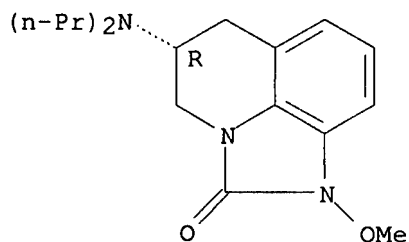
09/313,534



RN 166742-95-6 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

IT 194222-72-5P 194222-88-3P 194222-96-3P

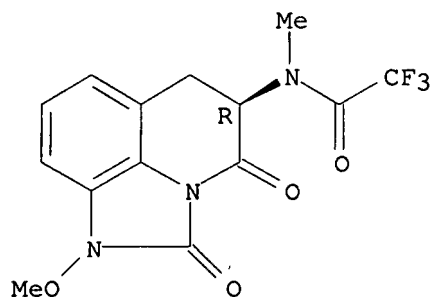
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 194222-72-5 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

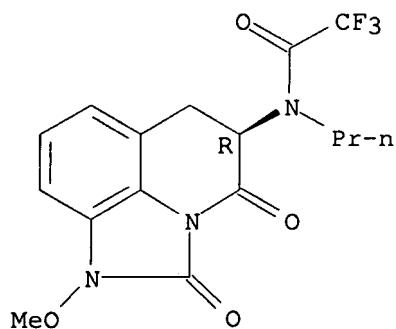


RN 194222-88-3 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

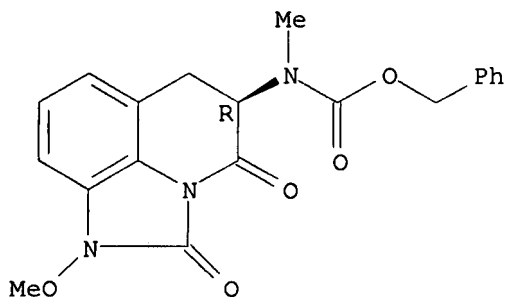
09/313,534



RN 194222-96-3 CAPLUS

CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:213416 CAPLUS

DOCUMENT NUMBER: 124:343186

TITLE: Oxidative cyclization of acyclic ureas with bis(trifluoroacetoxy)iodobenzene to generate N-substituted 2-benzimidazolinones

AUTHOR(S): Romero, Arthur G.; Darlington, William H.; Jacobsen, E. Jon; Mickelson, John W.

CORPORATE SOURCE: Med. Chem. Res., Pharmacia, Upjohn, Inc., Kalamazoo, MI, 49001, USA

SOURCE: Tetrahedron Letters (1996), 37(14), 2361-4

CODEN: TELEAY; ISSN: 0040-4039

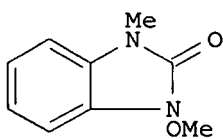
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

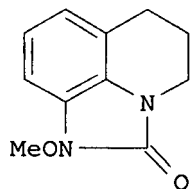
LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:343186

GI



I



II



AB A facile method to synthesize N-substituted 2-benzimidazolinones from secondary arom. amines is presented. Sequential treatment of a secondary arom. amine with phosgene and methoxylamine afforded an acyclic 3-substituted 3-aryl-1-methoxyurea. Brief exposure of this urea to bis(trifluoroacetoxy)iodobenzene induced an oxidative cyclization. to the ortho-position of the benzene ring, resulting in the formation of a 3-substituted 1-methoxy-2-benzimidazolinone, e.g. I and II. Hydrogenation over Pd/C cleaves the methoxy group to afford the N-substituted 2-benzimidazolinone.

IT **176790-85-5P**

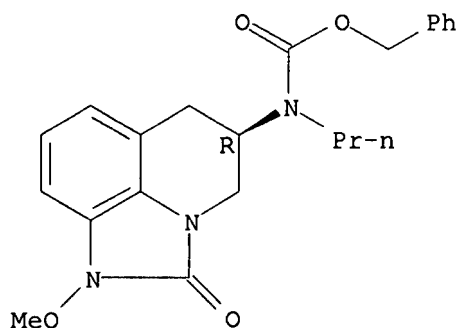
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidative cyclization of acyclic ureas with bis(trifluoroacetoxy)iodobenzene to generate N-substituted 2-benzimidazolinones)

RN 176790-85-5 CAPLUS

CN Carbamic acid, propyl(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:750538 CAPLUS

DOCUMENT NUMBER: 123:143891

TITLE: Heterocyclic amines having central nervous system activity

INVENTOR(S): Romero, Arthur G.

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

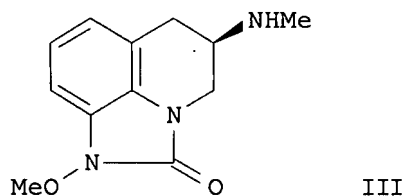
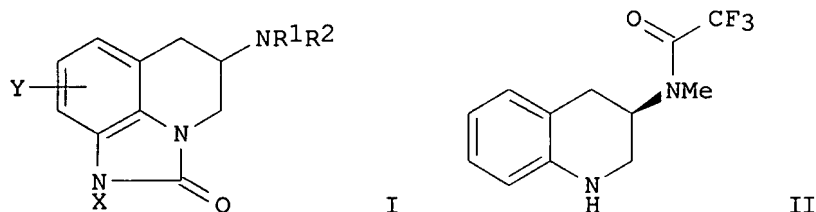
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 9504056  | A1   | 19950209 | WO 1994-US6648  | 19940617 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN |      |          |                 |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2166700  | AA   | 19950209 | CA 1994-2166700 | 19940617 |
| AU 9472461  | A1   | 19950228 | AU 1994-72461   | 19940617 |

|   |    |          |                   |             |
|---|----|----------|-------------------|-------------|
| AU 684808   | B2 | 19980108 |                   |             |
| EP 724584   | A1 | 19960807 | EP 1994-921952    | 19940617    |
| EP 724584   | B1 | 19971105 |                   |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |    |          |                   |             |
| JP 09500898   | T2 | 19970128 | JP 1995-505808    | 19940617    |
| AT 159943   | E  | 19971115 | AT 1994-921952    | 19940617    |
| ES 2108474  | T3 | 19971216 | ES 1994-921952    | 19940617    |
| CN 1128030  | A  | 19960731 | CN 1994-192910    | 19940627    |
| CN 1043574  | B  | 19990609 |                   |             |
| US 5652245  | A  | 19970729 | US 1996-592328    | 19960123    |
| US 2001009916   | A1 | 20010726 | US 1999-313534    | 19990513    |
| PRIORITY APPLN. INFO.:  |    |          | US 1993-97608     | A 19930727  |
|   |    |          | WO 1994-US6648    | W 19940617  |
|   |    |          | US 1996-592328    | A1 19960123 |
| OTHER SOURCE(S):  |    |          | MARPAT 123:143891 |             |
| GI  |    |          |                   |             |



AB Tricyclic N-contg. compds. I, having CNS (anxiolytic and anti-depressant) activity, and pharmaceutical salts thereof, are claimed [wherein R1, R2 = independently H, Cl-6 alkyl; or R1R2 = atoms to form pyrrolidine, piperidine, morpholine or imidazole; X = OMe, SO2R3, SO2CF3 or CN; R3 = Cl-6 alkyl or aryl; Y = H, Cl, Br, F, CN, CONR1R2, CF3, OMe, SO2NR1R2]. I are said to be suitable for treating schizophrenia, Parkinson's disease, anxiety, depression, high blood pressure, or migraine. Four compds. and their HCl salts were prepd. For example, (R)-1,2,3,4-tetrahydro-1-methoxy-2-oxo-3-quinolinamine underwent a sequence of N-acylation of the pendant amino group with ClCO2Me (99%), borane redn. of the oxo group and the carbamate (100%), double N-acylation (ring and methylamino nitrogens) with trifluoroacetic anhydride (67%), and selective hydrolysis of the ring trifluoroacetyl group (100%), to give the intermediate II. Treatment of II with phosgene and then methoxylamine-HCl in the presence of Et3N gave 75% 1-methoxyaminocarbonyl deriv., which was cyclized by treatment with PhI(OCOCF3) (72%) and deprotected with K2CO3 in MeOH (100%) to give title compd. III. In an isolation-induced aggression assay in mice, III (oral, dose unspecified) increased latency to attack from 66 s (control) to 600 s. Addnl. 5-HT receptor data for another I showed selectivity for 5-HT1DB receptors, with activity residing in the (R)-isomer.

IT **166743-02-8**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

09/313,534

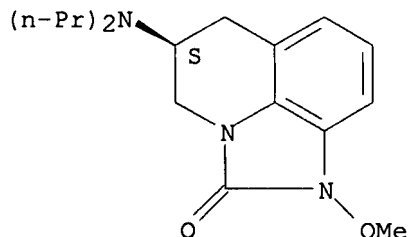
study, unclassified); BIOL (Biological study)

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166743-02-8 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



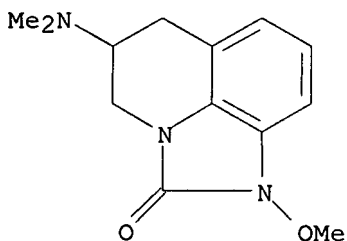
IT 166941-54-4 166941-55-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

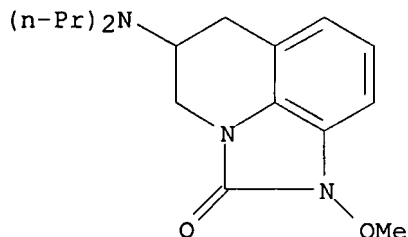
RN 166941-54-4 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)



RN 166941-55-5 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)



IT 166742-80-9P 166742-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

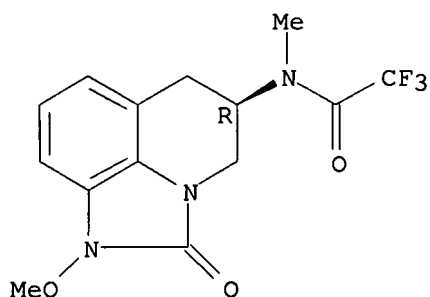
(intermediate; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-80-9 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

09/313,534

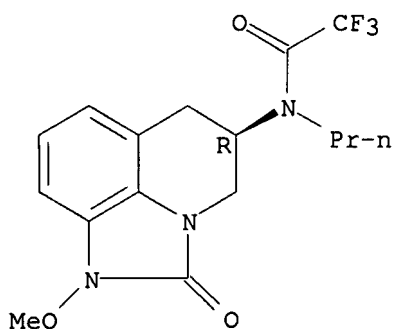
Absolute stereochemistry.



RN 166742-91-2 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



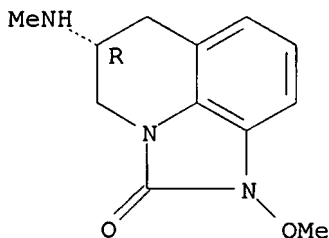
IT 166742-81-0P 166742-92-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-81-0 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

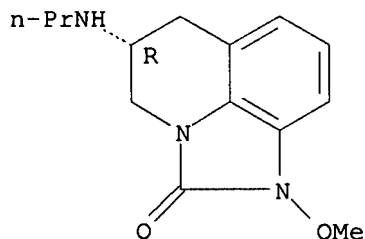


RN 166742-92-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534



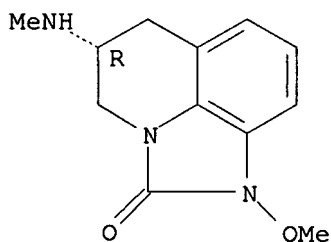
IT 166742-82-1P 166742-83-2P 166742-84-3P  
166742-93-4P 166742-94-5P 166742-95-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-82-1 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

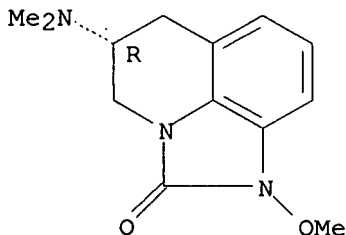


● HCl

RN 166742-83-2 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

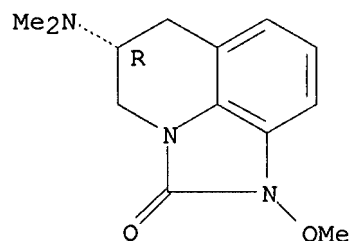


RN 166742-84-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534

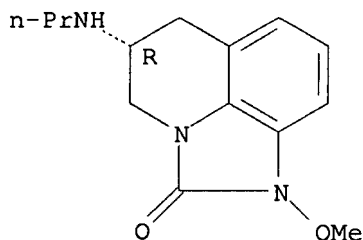


● HCl

RN 166742-93-4 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

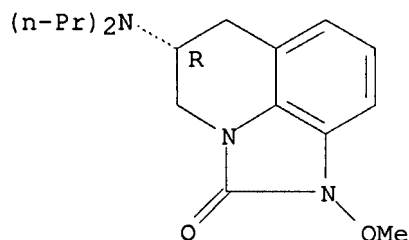


● HCl

RN 166742-94-5 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

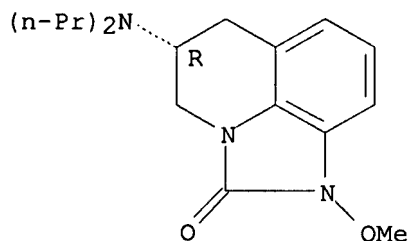


RN 166742-95-6 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534



● HCl

=> file uspatall

FILE 'USPATFULL' ENTERED AT 10:12:07 ON 25 SEP 2002

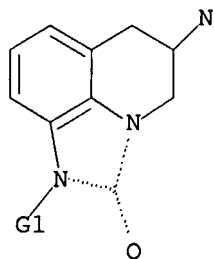
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:12:07 ON 25 SEP 2002

CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR



G1 O, S, CN

Structure attributes must be viewed using STN Express query preparation.

L3 18 SEA FILE=REGISTRY SSS FUL L1

L5 4 SEA L3

=> d 15 1-4 ibib abs hitstr

L5 ANSWER 1 OF 4 USPATFULL

ACCESSION NUMBER: 2001:233159 USPATFULL

TITLE: (R)-5,6-dihydro-5-(methyldimethylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one maleate

INVENTOR(S): Ju, Tzu-Chi Robert, Thousand Oaks, CA, United States  
Moon, Malcolm Wilson, Kalamazoo, MI, United States

|                       | NUMBER  | KIND | DATE         |
|-----------------------|---|------|--------------|
| PATENT INFORMATION:   | US 2001053386   | A1   | 20011220     |
| APPLICATION INFO.:    | US 2001-759286  | A1   | 20010111 (9) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1998-146090, filed on 30 Sep 1998, GRANTED, Pat. No. US 6197339 |      |              |

09/313,534

|                       | NUMBER  | DATE          |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | US 1997-60827P  | 19970930 (60) |
| DOCUMENT TYPE:        | Utility   |               |
| FILE SEGMENT:         | APPLICATION   |               |
| LEGAL REPRESENTATIVE: | Bruce Stein, Pharmacia & Upjohn Company, Global Intellectual Property, 301 Henrietta Street, Kalamazoo, MI, 49001 |               |
| NUMBER OF CLAIMS:     | 17  |               |
| EXEMPLARY CLAIM:      | 1   |               |
| LINE COUNT:           | 495   |               |

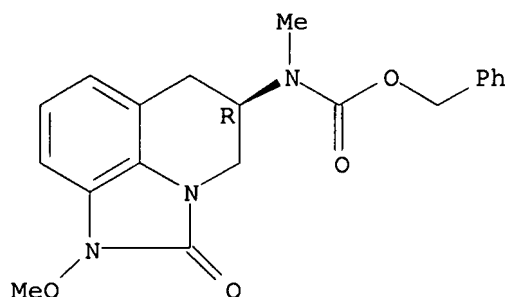
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The sustained release tablet of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) which is disclosed permits twice daily administration of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) to treat humans with Parkinson's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **222415-94-3P**  
(prepn. of methylaminoimidazoquinolinone to treat Parkinson's disease)  
RN 222415-94-3 USPATFULL  
CN Carbamic acid, methyl[(5R)-1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 2 OF 4 USPATFULL  
ACCESSION NUMBER: 2001:119294 USPATFULL  
TITLE: HETEROCYCLIC AMINES HAVING CENTRAL NERVOUS SYSTEM ACTIVITY  
INVENTOR(S): ROMERO, ARTHUR G., KALAMAZOO, MI, United States

|                       | NUMBER  | KIND | DATE               |
|-----------------------|---|------|--------------------|
| PATENT INFORMATION:   | US 2001009916   | A1   | 20010726           |
|                       | US 5652245  |      | (GRANTED)          |
| APPLICATION INFO.:    | US 1999-313534  | A1   | 19990513 (9)       |
|                       | US 1996-592328  |      | 19960123 (GRANTED) |
| RELATED APPLN. INFO.: | A 371 of International Ser. No. WO 1994-US6648, filed on 17 Jun 1994, UNKNOWN Continuation-in-part of Ser. No. US 1993-97608, filed on 27 Jul 1993, ABANDONED |      |                    |
| DOCUMENT TYPE:        | Utility   |      |                    |
| FILE SEGMENT:         | APPLICATION   |      |                    |
| LEGAL REPRESENTATIVE: | BRUCE STEIN, INTELLECTUAL PROPERTY LEGAL SERVICES, PHARAMACIA & UPJOHN COMPANY, KALAMAZOO, MI, 49001  |      |                    |
| NUMBER OF CLAIMS:     | 12  |      |                    |



09/313,534

EXEMPLARY CLAIM: 1  
LINE COUNT: 861

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Tricyclic nitrogen containing compounds, having anxiolytic and anti-depressant activity and central nervous system activity of the following structural formula: ##STR1##

and pharmaceutically acceptable salts thereof wherein R.sub.1 and R.sub.2 are independently hydrogen. C.sub.1-6 alkyl or R.sub.1 and R.sub.2 are joined to form pyrrolidine, piperidine, morpholine or imidazole. X is OCH.sub.3, SO.sub.2R.sub.3, SO.sub.2CF.sub.3 or CN where R.sub.3 is C.sub.1-6 alkyl or an Aryl; and Y is hydrogen, Cl, Br, F, CN, CONR.sub.1R.sub.2, CF.sub.3, OCH.sub.3, SO.sub.2NR.sub.1R.sub.2. These new compounds are suitable for treating anxiolytic disorder, schizophrenia, Parkinson's disease, anxiety, depression or as compounds for lowering blood pressure or treating migraine headaches in patients in need of such treatment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

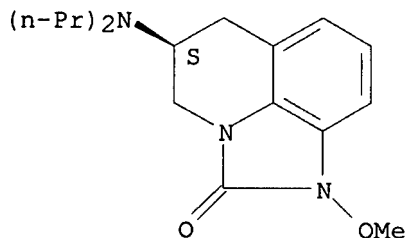
IT 166743-02-8

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166743-02-8 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

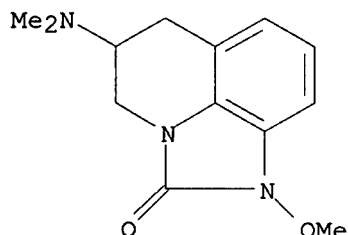


IT 166941-54-4 166941-55-5

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166941-54-4 USPATFULL

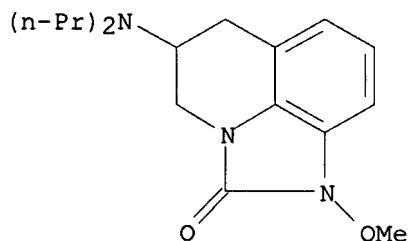
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)



RN 166941-55-5 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)

09/313,534



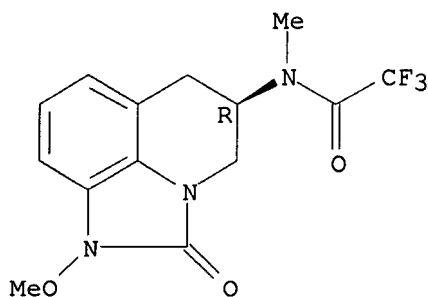
IT 166742-80-9P 166742-91-2P

(intermediate; prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-80-9 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

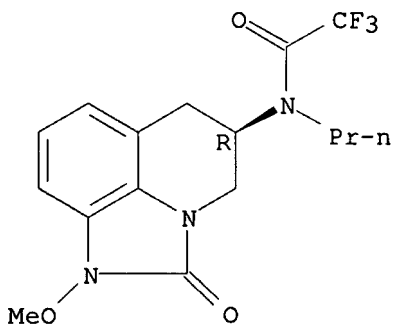
Absolute stereochemistry.



RN 166742-91-2 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 166742-81-0P 166742-92-3P

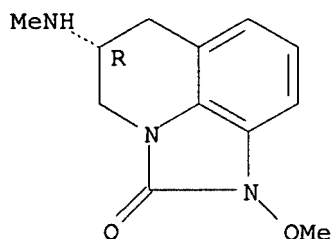
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-81-0 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

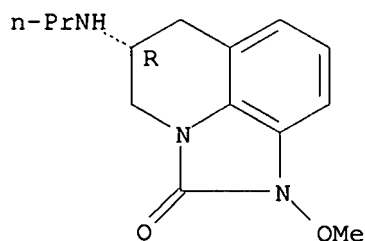
Absolute stereochemistry. Rotation (-).

09/313,534



RN 166742-92-3 USPATFULL  
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

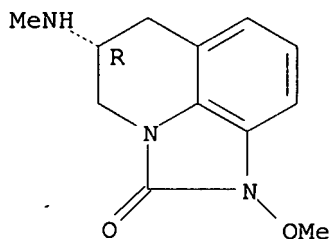
Absolute stereochemistry. Rotation (-).



IT 166742-82-1P 166742-83-2P 166742-84-3P  
166742-93-4P 166742-94-5P 166742-95-6P  
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)

RN 166742-82-1 USPATFULL  
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

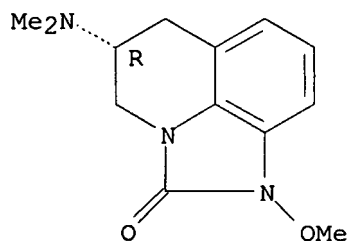


● HCl

RN 166742-83-2 USPATFULL  
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

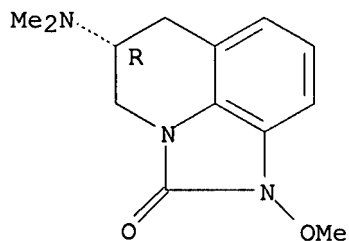
09/313,534



RN 166742-84-3 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

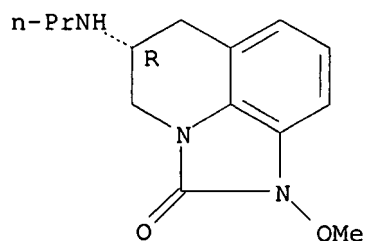


● HCl

RN 166742-93-4 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



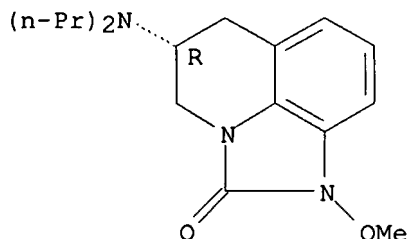
● HCl

RN 166742-94-5 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

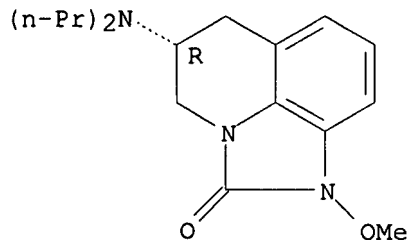
09/313,534



RN 166742-95-6 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L5 ANSWER 3 OF 4 USPATFULL

ACCESSION NUMBER: 2001:32837 USPATFULL

TITLE: Sustained release tablet formulation to treat Parkinson's disease

INVENTOR(S): Ju, Tzu-chi Robert, Portage, MI, United States

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

|                     | NUMBER         | KIND | DATE         |
|---------------------|----------------|------|--------------|
| PATENT INFORMATION: | US 6197339     | B1   | 20010306     |
| APPLICATION INFO.:  | US 1998-146090 |      | 19980930 (9) |

|                       | NUMBER             | DATE          |
|-----------------------|--------------------|---------------|
| PRIORITY INFORMATION: | US 1997-60827P     | 19970930 (60) |
| DOCUMENT TYPE:        | Utility            |               |
| FILE SEGMENT:         | Granted            |               |
| PRIMARY EXAMINER:     | Page, Thurman K.   |               |
| ASSISTANT EXAMINER:   | Seidleck, Brian K. |               |
| LEGAL REPRESENTATIVE: | Stein, Bruce       |               |
| NUMBER OF CLAIMS:     | 17                 |               |
| EXEMPLARY CLAIM:      | 1                  |               |
| LINE COUNT:           | 498                |               |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The sustained release tablet of (R)-5,6-dihydro-5-(methyamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) which is disclosed permits twice daily administration of (R)-5,6-dihydro-5-(methyamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate

(1:1) to treat humans with Parkinson's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

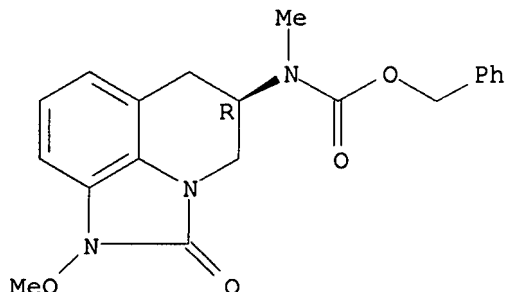
IT 222415-94-3P

(prepn. of methylaminoimidazoquinolinone to treat Parkinson's disease)

RN 222415-94-3 USPATFULL

CN Carbamic acid, methyl[(5R)-1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 4 OF 4 USPATFULL

ACCESSION NUMBER: 97:66132 USPATFULL

TITLE: Heterocyclic amines having central nervous system activity

INVENTOR(S): Romero, Arthur G., Kalamazoo, MI, United States

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

|                       | NUMBER  | KIND | DATE                     |
|-----------------------|---|------|--------------------------|
| PATENT INFORMATION:   | US 5652245  |      | 19970729                 |
|                       | WO 9504056  |      | 19950209                 |
| APPLICATION INFO.:    | US 1996-592328  |      | 19960123 (8)             |
|                       | WO 1994-US6648  |      | 19940617                 |
|                       |   |      | 19960123 PCT 371 date    |
|                       |   |      | 19960123 PCT 102(e) date |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 1993-97608, filed on 27 Jul 1993, now abandoned |      |                          |
| DOCUMENT TYPE:        | Utility   |      |                          |
| FILE SEGMENT:         | Granted   |      |                          |
| PRIMARY EXAMINER:     | Morris, Patricia L.   |      |                          |
| LEGAL REPRESENTATIVE: | Corneglio, Donald L.  |      |                          |
| NUMBER OF CLAIMS:     | 8   |      |                          |
| EXEMPLARY CLAIM:      | 1   |      |                          |
| LINE COUNT:           | 832   |      |                          |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Tricyclic nitrogen containing compounds, having anxiolytic and anti-depressant activity and central nervous system activity of the following structural formula: ##STR1## and pharmaceutically acceptable salts thereof wherein R.sub.1 and R.sub.2 are independently hydrogen, C.sub.1-6 alkyl or R.sub.1 and R.sub.2 are joined to form pyrrolidine, piperidine, morpholine or imidazole. X is OCH.sub.3, SO.sub.2 R.sub.3, SO.sub.2 CF.sub.3 or CN where R.sub.3 is C.sub.1-6 alkyl or an Aryl; and Y is hydrogen, Cl, Br, F, CN, CONR.sub.1 R.sub.2, CF.sub.3, OCH.sub.3, SO.sub.2 NR.sub.1 R.sub.2. These new compounds are suitable for treating anxiolytic disorder, schizophrenia, Parkinson's disease, anxiety,

depression or as compounds for lowering blood pressure or treating migraine headaches in patients in need of such treatment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

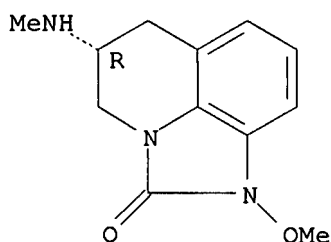
IT **166742-81-0P 166742-92-3P**

(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-81-0 USPTAFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

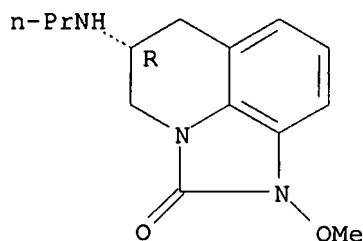
Absolute stereochemistry. Rotation (-).



RN 166742-92-3 USPTAFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **166742-82-1P 166742-83-2P 166742-84-3P**  
**166742-93-4P 166742-94-5P 166742-95-6P**

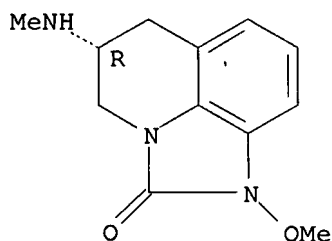
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-82-1 USPTAFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/313,534

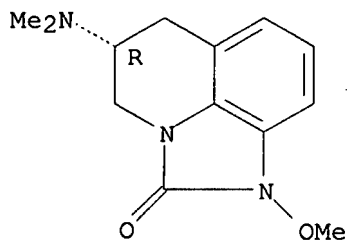


● HCl

RN 166742-83-2 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

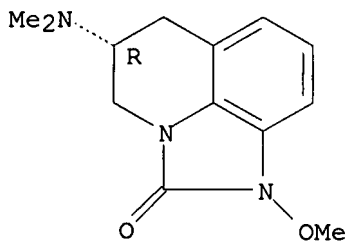
Absolute stereochemistry. Rotation (-).



RN 166742-84-3 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

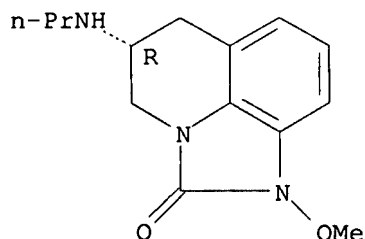
RN 166742-93-4 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



09/313,534

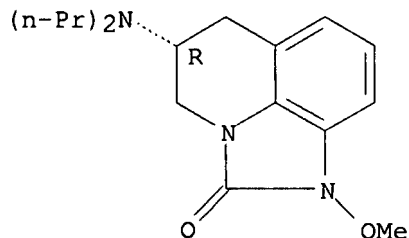


● HCl

RN 166742-94-5 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

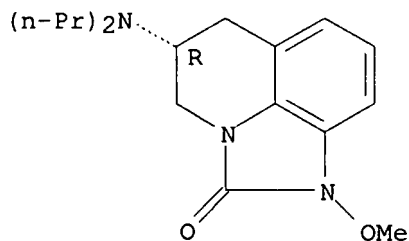
Absolute stereochemistry. Rotation (-).



RN 166742-95-6 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

IT 194222-72-5P 194222-88-3P 194222-96-3P

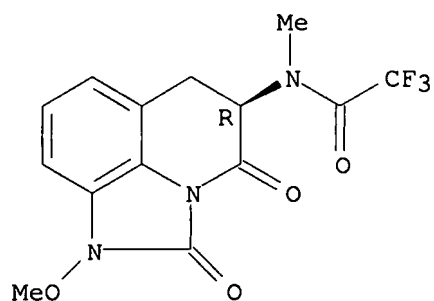
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 194222-72-5 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

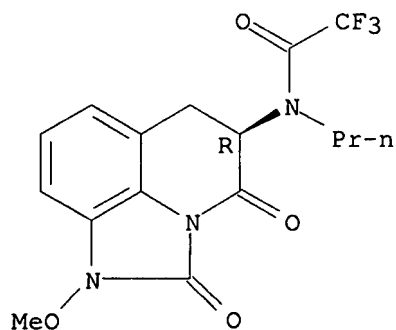
09/313,534



RN 194222-88-3 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194222-96-3 USPATFULL

CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

